

(12) INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(19) World Intellectual Property  
Organization  
International Bureau



(43) International Publication Date  
8 July 2004 (08.07.2004)

PCT

(10) International Publication Number  
**WO 2004/056366 A1**

(51) International Patent Classification<sup>7</sup>: **A61K 31/502**,  
C07D 401/06, 413/14, 409/14, A61P 29/00

(21) International Application Number:  
PCT/EP2003/014733

(22) International Filing Date:  
22 December 2003 (22.12.2003)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:  
MI2002A002739  
23 December 2002 (23.12.2002) IT

(71) Applicant (for all designated States except US): **ZAMBON GROUP S.P.A.** [IT/IT]; Via della Chimica, 9, I-36100 VICENZA (IT).

(72) Inventors; and

(75) Inventors/Applicants (for US only): **NAPOLETANO, Mauro** [IT/IT]; Via Venini, 37, I-20127 MILANO (IT). **PELLACINI, Franco** [IT/IT]; Via G. Balla, 14, I-20151 MILANO (IT). **MORAZZONI, Gabriele** [IT/IT]; Via

Labriola, 12, I-20020 LAINATE (IT). **MORIGGI, Jean-Dominique** [IT/IT]; Via Caronti, 20, I-22020 BLEVIO (IT). **RIVA, Carlo** [IT/IT]; Via Fugazza, 22, I-22044 INVERIGO (IT). **HAACK, Thomas** [DE/IT]; Via Grazia Deledda, 19, I-22100 COMO (IT). **ALLIEVI, Leopoldo** [IT/IT]; Via Gianorini, 12, I-22012 CERNOBBIO (IT). **PAUSELLI, Marco** [IT/IT]; Via Fagnana, 29/b, I-22078 TURATE (IT).

(74) Agent: **LONGONI, Alessandra**; Zambon Group S.P.A., Intellectual Property Dept., Via Lillo del Duca, 10, I-20091 BRESCO (MI) (IT).

(81) Designated States (*national*): AU, CA, CN, IL, JP, NZ, RU, US.

(84) Designated States (*regional*): European patent (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR).

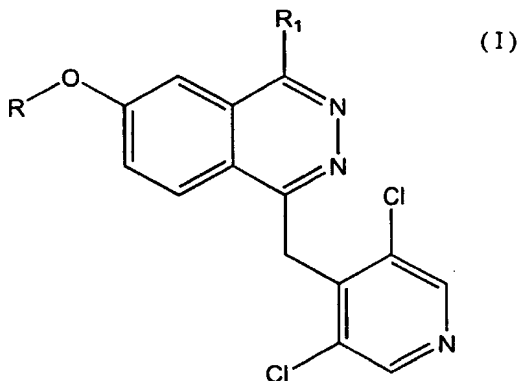
Published:

— with international search report

For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.

(54) Title: PHTHALAZINE DERIVATIVES AS PHOSPHODIESTERASE 4 INHIBITORS

(57) Abstract: Compounds of formula I wherein R and R<sub>1</sub> are as defined in the description. The compounds of formula I are PDE 4 inhibitors.



WO 2004/056366 A1

# INTERNATIONAL SEARCH REPORT

International Application No

PCT/EP 03/14733

|   |   |                       |
|---|---|-----------------------|
| <b>A. CLASSIFICATION OF SUBJECT MATTER</b><br>IPC 7 A61K31/502 C07D401/06 C07D413/14 C07D409/14 A61P29/00   |   |                       |
| According to International Patent Classification (IPC) or to both national classification and IPC   |   |                       |
| <b>B. FIELDS SEARCHED</b><br>Minimum documentation searched (classification system followed by classification symbols)<br>IPC 7 C07D  |   |                       |
| Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched   |   |                       |
| Electronic data base consulted during the International search (name of data base and, where practical, search terms used)<br>EPO-Internal, WPI Data, CHEM ABS Data   |   |                       |
| <b>C. DOCUMENTS CONSIDERED TO BE RELEVANT</b>   |   |                       |
| Category *  | Citation of document, with indication, where appropriate, of the relevant passages  | Relevant to claim No. |
| X   | WO 00 005218 A (ZAMBON GROUP S.P.A., ITALY) 3 February 2000 (2000-02-03)<br>cited in the application<br>claims 1,11,12; example 125 | 1-10                  |
| A   | WO 99 32456 A (ALVAREZ BUILLA JULIO G ;GARCIA NAVIO JOSE LUIS (ES); SIRO HERRERO) 1 July 1999 (1999-07-01)<br>the whole document    | 1-10                  |
| <div style="display: flex; justify-content: space-between;"> <span><input type="checkbox"/> Further documents are listed in the continuation of box C.</span> <span><input checked="" type="checkbox"/> Patent family members are listed in annex.</span> </div>  |   |                       |
| * Special categories of cited documents :   |   |                       |
| <div style="display: flex; justify-content: space-between;"> <div style="width: 45%;"> <p>*A* document defining the general state of the art which is not considered to be of particular relevance</p> <p>*E* earlier document but published on or after the International filing date</p> <p>*L* document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified)</p> <p>*O* document referring to an oral disclosure, use, exhibition or other means</p> <p>*P* document published prior to the International filing date but later than the priority date claimed</p> </div> <div style="width: 45%;"> <p>*T* later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention</p> <p>*X* document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone</p> <p>*Y* document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art.</p> <p>*&amp;* document member of the same patent family</p> </div> </div> |   |                       |
| Date of the actual completion of the International search   | Date of mailing of the International search report  |                       |
| 25 March 2004   | 06/04/2004  |                       |
| Name and mailing address of the ISA   | Authorized officer  |                       |
| European Patent Office, P.B. 5818 Patentlaan 2<br>NL - 2280 HV Rijswijk<br>Tel. (+31-70) 340-2040, Tx. 31 651 epo nl,<br>Fax: (+31-70) 340-3016   | Bérillon, L   |                       |

# INTERNATIONAL SEARCH REPORT

Information on patent family members

International Application No

PCT/EP 03/14733

| Patent document<br>cited in search report | Publication<br>date | Patent family<br>member(s)  | Publication<br>date  |
|---|---------------------|---|--|
| WO 00005218 8 A                           | 08-09-2000          | AU 2926000 A<br>WO 0052188 A1   | 21-09-2000<br>08-09-2000   |
| WO 9932456 A                              | 01-07-1999          | IT MI972806 A1<br>AT 259356 T<br>AU 2613299 A<br>DE 69821650 D1<br>WO 9932456 A1<br>EP 1042300 A1<br>JP 2001526270 T<br>US 2004043999 A1<br>US 6589951 B1 | 21-06-1999<br>15-02-2004<br>12-07-1999<br>18-03-2004<br>01-07-1999<br>11-10-2000<br>18-12-2001<br>04-03-2004<br>08-07-2003 |